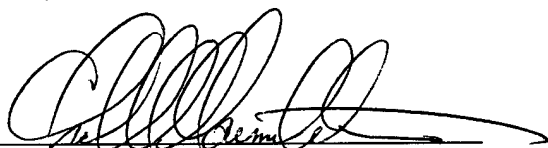


- A³
10. (Amended) The use of a command as claimed in claim 1 for preparing a targeting vehicle for cells expressing uPAR.
11. (Amended) The use of a compound as claimed in claim 1 for preparing an angiogenesis inhibitor.
12. (Amended) The use of a compound as claimed in claim 1 for preparing a targeting vehicle for cells expressing uPAR.
13. (Amended) The use of a compound as claimed in claim 1 for preparing an angiogenesis inhibitor.
-

REMARKS

Claims 1-13 are pending in this application. By this Amendment, claims 5, 7, 8, 10-13 are amended to correct the multiple dependency thereof and to place this application into better condition for examination. No new matter is added.

Respectfully submitted,


Robert B. Murray
Registration No. 22,980 *Reg No. 22,980*

ARENT FOX KINTNER PLOTKIN & KAHN, PLLC
1050 Connecticut Avenue, N.W.,
Suite 400
Washington, D.C. 20036-5339
Tel: (202) 857-6000
Fax: (202) 638-4810
RBM/aam

26-07-2001
 PCT/EP00/06905
 20392P WO/WWJPpu

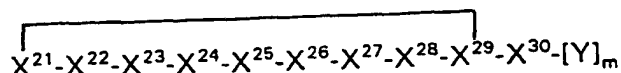
- 22 -

EP0006905

Claims

5

1. A compound of the general structural formula (I):



- 10 wherein $X^{21}-X^{30}$ are monomeric building blocks, preferably aminocarboxylic acid residues and are derived from a structure in which $X^{21} = \text{D-Cys}$, $X^{22} = \text{Asn}$, $X^{23} = \text{Lys}$, $X^{24} = \text{Tyr}$, $X^{25} = \text{Phe}$, $X^{26} = \text{Ser}$, $X^{27} = \text{Asn}$, $X^{28} = \text{Ile}$, $X^{29} = \text{Cys}$ and $X^{30} = \text{Trp}$, Y is a
- 15 spacer and m is 0 or 1, and the monomeric building blocks are linked via $-\text{CONR}^1$ or $-\text{NR}^1\text{CO}$ bonds, in which R^1 in each case independently is hydrogen, methyl or ethyl, and pharmaceutically acceptable salts and derivatives thereof,
- 20 with the proviso that at least one of the amino acid residues $X^{21}-X^{30}$ of the lead structure is replaced by one of the amino acid residues listed below:

- 25 X^{21} : Asp, Glu, 2,3-diaminopropionic acid (Dap), 2,4-diaminobutyric acid (Dab), D-penicillanine (D-Pen), allylglycine (Alg), ornithine (Orn), Lys;
- X^{22} : Asp, Glu;
- 30 X^{23} : Dab, Dap, His, citrulline (Cit), homocitrulline (Hci), norleucine (Nle);
- X^{24} : homophenylalanine (Hph), 1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Tic), thienylalanine (Thi), Trp,
- 35 phenylglycin (Phg), 1-naphthylalanine (1-Nal), 2-naphthylalanine (2-Nal), Cha (cyclohexylalanine);
- X^{25} : Trp, Tic, Thi, Hph, Phg;

AMENDED SHEET

5

characterized in that

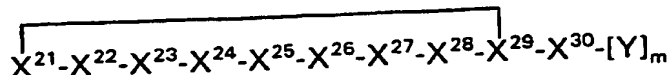
10

15

20

25

30



35

26-07-2001

- 24 -

EP0006905

X^{26} = Ser, X^{27} = Asn, X^{28} = Ile, X^{29} = Cys and X^{30} = Trp, Y is a spacer and m is 0 or 1, and the monomeric building blocks are linked via $-\text{CONR}^1$ or $-\text{NR}^1\text{CO}$ bonds, in which R^1 in each case independently is hydrogen, methyl or ethyl, and pharmaceutically acceptable salts and derivatives thereof.

claim 1

5. The compound as claimed in [any of the preceding claims]

characterized in that

at least 2 of the amino acid residues X^{22} , X^{23} , X^{24} , X^{25} , X^{26} , X^{27} , X^{28} and X^{30} have the same side chain as an amino acid at the same position in the native uPA sequence.

6. The compound as claimed in claim 5,

characterized in that

at least 2 of the amino acid residues X^{24} , X^{25} , X^{28} and X^{30} have the same side chain as in the native uPA sequence.

7. A pharmaceutical composition, which contains as active substance at least one compound as claimed in [any of *claim 1* claims 1 to 6] where appropriate together with pharmaceutically common carriers, excipients or diluents.

8. The use of a compound as claimed in [*claim 1* any of claims 1 to 6] for preparing a uPAR antagonist.

9. The use as claimed in claim 8 for controlling disorders associated with uPAR expression, in particular for controlling tumors.

10. The use of a compound as claimed in [*claim 1* any of claims 1 to 6] for preparing a targeting vehicle for cells expressing uPAR.

26-07-2001

- 25 -

EP0006905

11. The use of a compound as claimed in ^{claim 1} [any of claims 1 to 6] for preparing an angiogenesis inhibitor.

5

- 25 -

8. The compound as claimed in claim 7,
characterized in that
at least 2 of the amino acid residues X^{24} , X^{25} , X^{28}
and X^{30} have the same side chain as in the native
uPA sequence.
9. A pharmaceutical composition, which contains as
active substance at least one compound as claimed
in any of claims 1 to 8, where appropriate
together with pharmaceutically common carriers,
excipients or diluents.
10. The use of a compound as claimed in any of
claims 1-8 for preparing a uPAR antagonist.
11. The use as claimed in claim 10 for controlling
disorders associated with uPAR expression, in
particular for controlling tumors.
12. The use of a compound as claimed in ^{claim 1}any of
claims 1 to 8) for preparing a targeting vehicle
for cells expressing uPAR.
13. The use of a compound as claimed in ^{claim 1}any of
claims 1 to 8) for preparing an angiogenesis
inhibitor.